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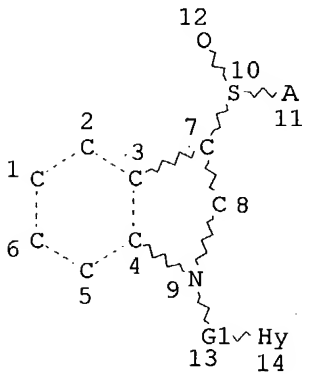

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NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

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FULL SCREEN SEARCH COMPLETED - 16104 TO ITERATE

100.0% PROCESSED 16104 ITERATIONS 100 ANSWERS
SEARCH TIME: 00.00.01

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	156.68	156.89

FILE 'CAPLUS' ENTERED AT 17:13:20 ON 05 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 5 Nov 2004 VOL 141 ISS 20
FILE LAST UPDATED: 4 Nov 2004 (20041104/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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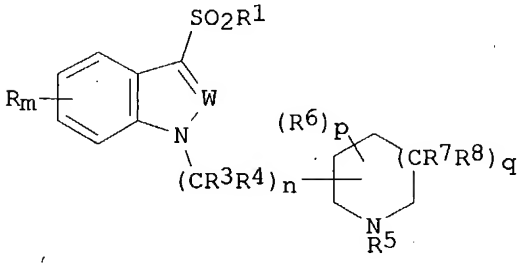
L6 3 L5

=> d bib abs 1-3

L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:80650 CAPLUS
DN 140:146005
TI Preparation of 1-heterocyclylalkyl-3-sulfonylindoles and indazoles as 5-HT6 ligands
IN Bernotas, Ronald Charles; Lenicek, Steven Edward
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004009548	A1	20040129	WO 2003-US22485	20030717
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004024023	A1	20040205	US 2003-621698	20030717
PRAI	US 2002-396958P	P	20020718		

OS MARPAT 140:146005
GI



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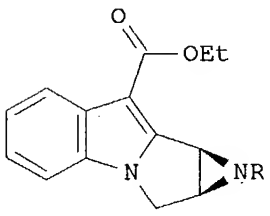
AB Title compds. [I; W = N, CR2; R = halo, cyano, OCO2R9, CO2R10, CONR11R12, SOxR13, NR14R15, OR16, COR17, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl; R1 = (substituted) alkyl, cycloalkyl, aryl, heteroaryl, etc.; R2 = H, halo, (substituted) alkyl, alkoxy, cycloalkyl,

aryl, heteroaryl; R3, R4 = H, (substituted) alkyl; R5 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloheteroalkyl, aryl, heteroaryl; R6 = (substituted) alkyl, cycloalkyl, alkenyl, alkynyl; R7, R8 = H, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl; m, n, p = 0-3; q, x = 0-2; R9, R10, R13, R17 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloheteroalkyl, aryl, heteroaryl; R11, R12, R14, R15 = H, (substituted) alkyl; NR11R12, NR14R15 = 5-7 membered ring; R16 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloheteroalkyl, aryl, heteroaryl; R18 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloheteroalkyl, aryl, heteroaryl], were prepared Thus, 3-(phenylsulfonyl)-1H-indole (preparation given) in DMF at 0° was treated with sodium hydride in mineral oil stirred for 2 h at ambient temperature, treated with 4-(toluene-4-sulfonyloxymethyl)piperidine-1-carboxylic acid tert-Bu ester and the mixture was stirred for 16 h at 55° to give tert-Bu 4-[3-(phenylsulfonyl)-1H-indol-1-ylmethyl]piperidine-1-carboxylate. The latter was stirred with 4N HCl in dioxane to give 82% 3-(phenylsulfonyl)-1-(piperidin-4-ylmethyl)-1H-indole hydrochloride, which showed 5-HT6 binding with Ki = 27 nM.

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:45418 CAPLUS
DN 140:253368
TI Synthesis of the Aziridinomitosene Skeleton by Intramolecular Michael Addition of α-Lithioaziridines: An Aromatic Route Featuring Deuterium as a Removable Blocking Group
AU Vedejs, Edwin; Little, Jeremy D.
CS Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109, USA
SO Journal of Organic Chemistry (2004), 69(6), 1794-1799
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
GI



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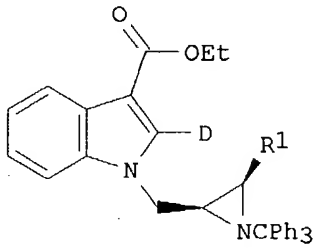

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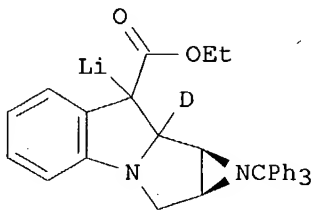


III

AB A convergent synthetic route to the 1,2-aziridinopyrrolo[1,2-a]indole I (R = CPh3) has been developed. Key features of this route include the deuterium kinetic isotope effect to block undesired indole lithiation

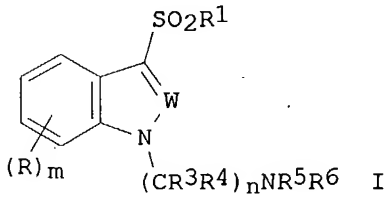
during tin-lithium exchange from indole II (R1 = SnBu3) to II (R1 = Li), the intramol. Michael addition to generate enolate III, and conversion into I (R = CPh3) by trapping with phenylselenenyl chloride. Reductive cleavage of the N-trityl group in I (R = CPh3) allows access to tetracyclic aziridinomitosenes containing the aziridine N-H subunit. Reduction of the C(9) ester in I (R = CPh3) with LAH gives the primary alc. with the correct C(9), C(9a), C(10) oxidation state corresponding to the aziridinomitosenes, and deprotection of I (R = CPh3) affords I (R = H).

RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:972055 CAPLUS
DN 140:27760
TI 1-(Aminoalkyl)-3-sulfonylindole and -indazole derivatives as 5-hydroxytryptamine-6 ligands
IN Bernotas, Ronald Charles; Lenicek, Steven Edward; Antane, Schuyler A.; Zhou, Ping; Li, Yanfang
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003101962	A1	20031211	WO 2003-US17472	20030603
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003232828	A1	20031218	US 2003-453009	20030603
US 6727246	B2	20040427		
PRAI US 2002-385695P	P	20020604		

OS MARPAT 140:27760
GI



I

AB The present invention relates to the preparation of aminoalkyl indole and indazole I (W = N or substituted C; m = 1-3; n = 2-5; R = H, halogen, CN, C1-C6alkyl, C2-C6 alkenyl etc.; R1 = C1-C6 alkyl, C3-C7 cycloalkyl, aryl etc.; R2 = H, halogen, or a C1-C6 alkyl, C1-C6 alkoxy etc.; R3, R4 = H or C1-C6 alkyl group; R5, R6 = H or C1-C6 alkyl group, C2-C6 alkenyl etc.), and the use thereof for the treatment of central nervous system disorders related to or affected by the 5-HT6 receptor. Thus, (Rm = H, R1 =

1-naphthyl, R2 = H, n = 2, R5 = R6 = CH3) (mp 239-241°) prepared by reacting corresponding indole derivative with N,N-dimethyl-2-chloroethylamine showed 5-HT6 binding Ki of 4 nM compared to 6.0 nM for clozapine.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d hitstr 3

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

IT **633291-90-4P 633291-99-3P 633292-27-0P,** 6-Chloro-1-(3-(morpholin-4-yl)propyl)-3-(phenylsulfonyl)-1H-indole **633292-28-1P,** 5-Methoxy-3-(phenylsulfonyl)-1-(3-(pyrrolidin-1-yl)propyl)-1H-indole **633292-31-6P,** 5-Methoxy-3-(phenylsulfonyl)-1-(2-(pyrrolidin-1-yl)ethyl)-1H-indole **633292-39-4P,** 3-(Phenylsulfonyl)-1-(2-(piperidin-1-yl)ethyl)-1H-indole **633292-42-9P,** 6-Chloro-1-(2-(morpholin-4-yl)ethyl)-3-(phenylsulfonyl)-1H-indole **633292-43-0P,** 3-(Phenylsulfonyl)-1-(3-(piperidin-1-yl)propyl)-1H-indole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aminoalkyl-sulfonylindole and -indazole derivs. as 5-hydroxytryptamine-6 ligands)

RN 633291-90-4 CAPLUS

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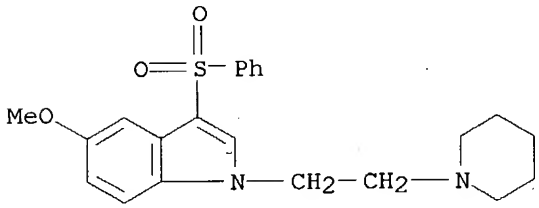

● HCl

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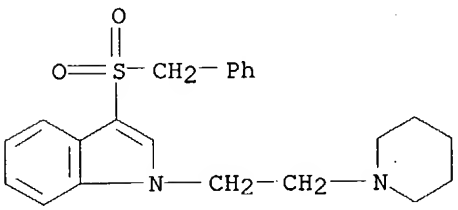

● HCl

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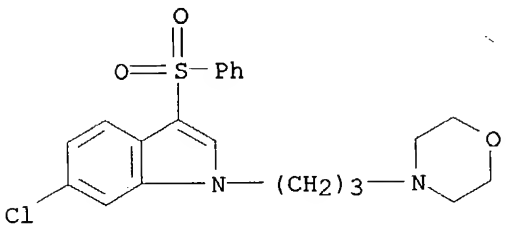

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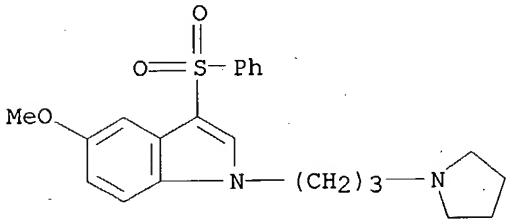

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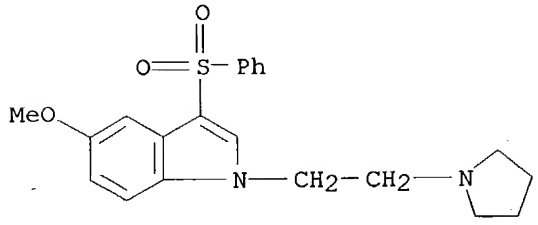

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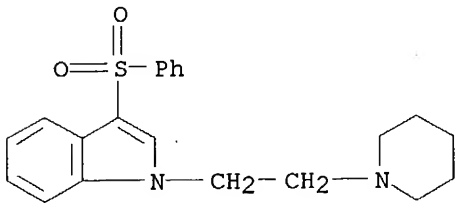

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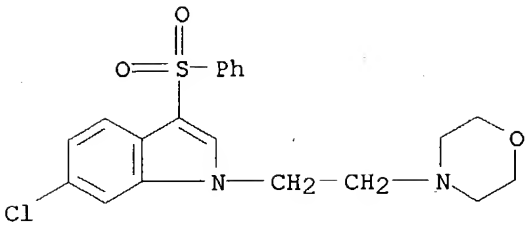

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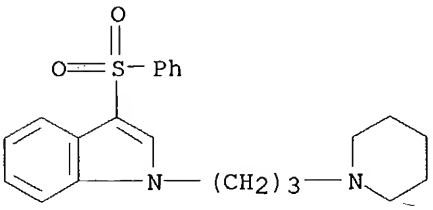

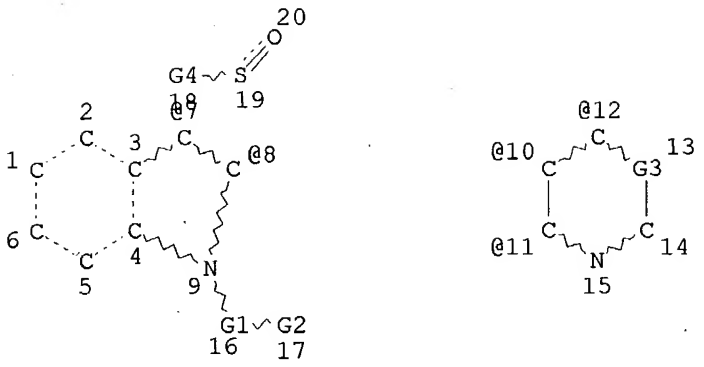


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INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
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PROJECTED ANSWERS:             143 TO      691

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L2    ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    651334-96-2  REGISTRY
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      (CA INDEX NAME)
OTHER NAMES:
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FS    3D CONCORD
MF    C21 H24 N2 O3 S
SR    CA
LC    STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
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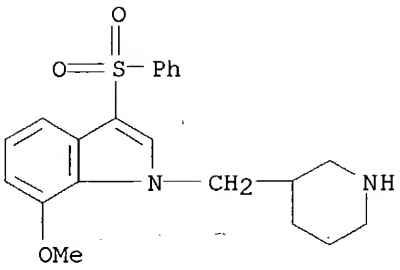

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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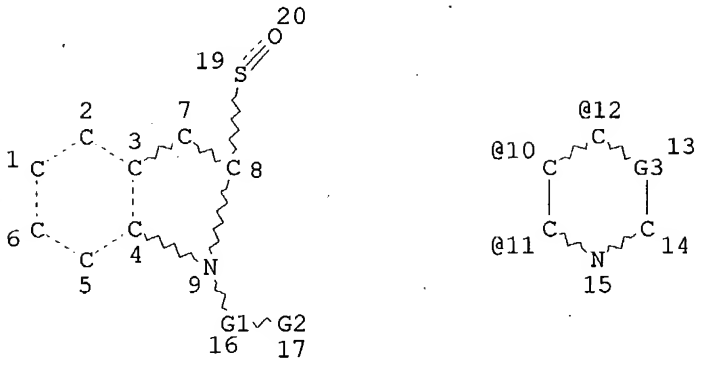


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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS  19

STEREO ATTRIBUTES: NONE


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100.0% PROCESSED     127 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
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L8             0 SEA SSS SAM L7

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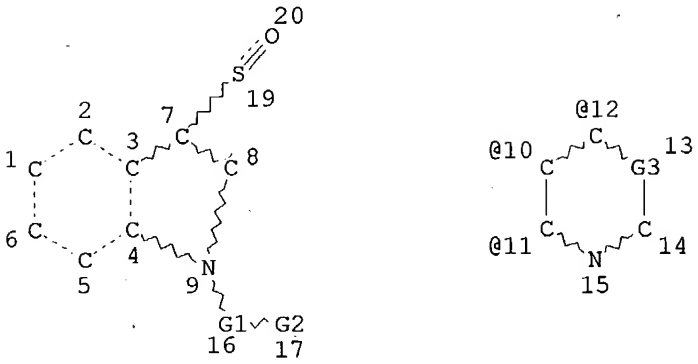

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NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

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100.0% PROCESSED 7665 ITERATIONS 83 ANSWERS
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L5 83 SEA SSS FUL L3

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	159.71	159.92

FILE 'CAPLUS' ENTERED AT 12:41:54 ON 18 OCT 2004

FILE COVERS 1907 - 18 Oct 2004 VOL 141 ISS 17
FILE LAST UPDATED: 17 Oct 2004 (20041017/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l5
L6 1 L5

=> d bib abs

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:80650 CAPLUS
DN 140:146005
TI Preparation of 1-heterocyclylalkyl-3-sulfonylindoles and indazoles as 5-HT6 ligands
IN Bernotas, Ronald Charles; Lenicek, Steven Edward
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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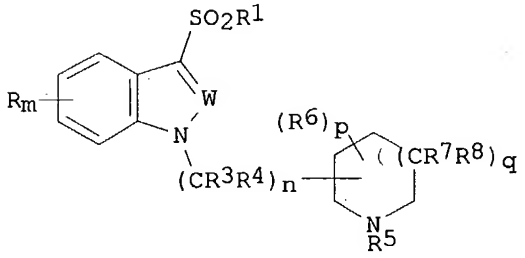
PRAI	US 2002-396958P	P	20020718		

OS MARPAT 140:146005
GI

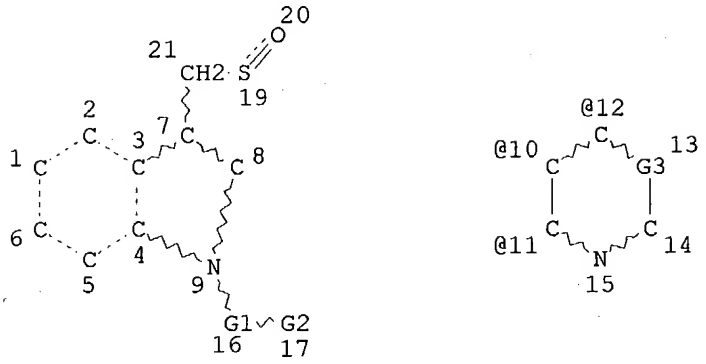


I

AB Title compds. [I; W = N, CR2; R = halo, cyano, OCO2R9, CO2R10, CONR11R12, SOxR13, NR14R15, OR16, COR17, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl; R1 = (substituted) alkyl, cycloalkyl, aryl,

heteroaryl, etc.; R2 = H, halo, (substituted) alkyl, alkoxy, cycloalkyl, aryl, heteroaryl; R3, R4 = H, (substituted) alkyl; R5 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloheteroalkyl, aryl, heteroaryl; R6 = (substituted) alkyl, cycloalkyl, alkenyl, alkynyl; R7, R8 = H, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl; m, n, p = 0-3; q, x = 0-2; R9, R10, R13, R17 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloheteroalkyl, aryl, heteroaryl; R11, R12, R14, R15 = H, (substituted) alkyl; NR11R12, NR14R15 = 5-7 membered ring; R16 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloheteroalkyl, aryl, heteroaryl; R18 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloheteroalkyl, aryl, heteroaryl], were prepared Thus, 3-(phenylsulfonyl)-1H-indole (preparation given) in DMF at 0° was treated with sodium hydride in mineral oil stirred for 2 h at ambient temperature, treated with 4-(toluene-4-sulfonyloxymethyl)piperidine-1-carboxylic acid tert-Bu ester and the mixture was stirred for 16 h at 55° to give tert-Bu 4-[3-(phenylsulfonyl)-1H-indol-1-ylmethyl]piperidine-1-carboxylate. The latter was stirred with 4N HCl in dioxane to give 82% 3-(phenylsulfonyl)-1-(piperidin-4-ylmethyl)-1H-indole hydrochloride, which showed 5-HT6 binding with Ki = 27 nM.

=> d l10
L10 HAS NO ANSWERS
L10 STR



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VAR G2=12/10/11
REP G3=(0-2) CH
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GRAPH ATTRIBUTES:
RSPEC 11 9
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

=> s l10 ful
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100.0% PROCESSED 1331 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L12 0 SEA SSS FUL L10

5475

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=> s (indol?(l)(sulfon? or sulphon?))(l)5ht!
         95646 INDOL?
        266079 SULFON?
          1682 SULPHON?
          2211 5HT!
L1           4 (INDOL?(L)(SULFON? OR SULPHON?))(L)5HT!

=> d bib abs 1-4

L1   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:396852  CAPLUS
DN   138:401602
TI   Preparation of N-(1H-indol-5-yl) sulfonamide derivatives with 5-HT6
     receptor antagonist activity, their preparation, and their application as
     medicaments for CNS diseases
IN   Merce-Vidal, Ramon; Andaluz-Mataro, Blas; Frigola-Constansa, Jordi
PA   Laboratorios Del Esteve, S.A., Spain
SO   PCT Int. Appl., 50 pp.
     CODEN: PIXXD2
DT   Patent
LA   Spanish
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2003042175         A1    20030522    WO 2002-ES518           20021108
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
     ES 2187300            A1    20030516    ES 2001-2517            20011114
     ES 2187300            B1    20040616
     EP 1445252            A1    20040811    EP 2002-785439          20021108
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     US 2003191124         A1    20031009    US 2002-293206          20021113
PRAI ES 2001-2517          A     20011114
     WO 2002-ES518         W     20021108
OS   MARPAT 138:401602
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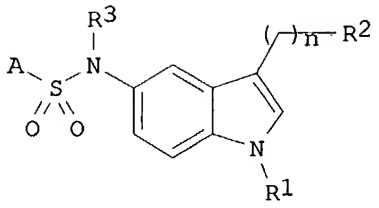

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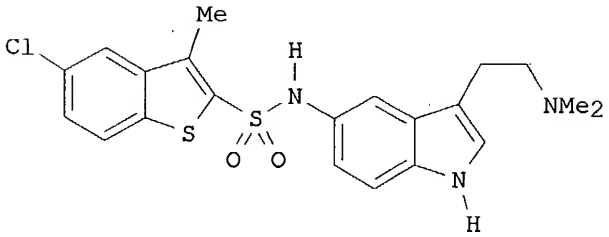

II

AB The invention relates to novel N-(1H-indol-5-yl)-substituted sulfonamide derivs. I and their physiol. acceptable salts [wherein: A = (un)substituted 5- or 6-membered heteroaryl, bicyclic heteroaryl, phenylalkyl, β-styryl, naphthyl, 2,2-diphenylethyl, aryl-W-aryl, or substituted Ph; R1 = H, alkyl, benzyl; n = 0-4; R2 = NR4R5, cyclic (un)saturated amino (e.g., piperidino, piperazino, etc.); R3, R4, R5 = H or alkyl; substituents on A = H, F, Cl, Br, alkyl, alkoxy, alkylthio, CF3, cyano, NO2, NR4R5; W = bond, CH2, O, S, or NR4]. The invention also relates to methods of preparing I, to their application as medicaments for human and/or veterinary therapy, and to pharmaceutical compns. containing them. A group of 53 example compds. is listed and claimed, and 5 example prepns. are given. For instance, sulfonamidation of 5-amino-3-[2-(dimethylamino)ethyl]-1H-indole with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine at room temperature gave 82% invention compound II.

In a test for inhibition of binding of [3H]-LSD to recombinant human 5-HT6 receptors expressed in HEK-293 cell membranes, II had an IC50 of 0.13 nM. Thirteen other I had IC50 values ranging from 0.28 nM to 24.3 nM.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:93 CAPLUS
DN 120:93
TI Disposition of sumatriptan in laboratory animals and humans
AU Dixon, C. M.; Saynor, D. A.; Andrew, P. D.; Oxford, J.; Bradbury, A.; Tarbit, M. H.
CS Dep. Drug Metab. III, Glaxo Group Res. Ltd., Ware/Herts, SG12 0DP, UK
SO Drug Metabolism and Disposition (1993), 21(5), 761-9
CODEN: DMDSAI; ISSN: 0090-9556
DT Journal
LA English
AB Sumatriptan is a new **5HT1**-like agonist and a novel and effective treatment for migraine. The disposition of the 14C-radiolabeled drug in laboratory animals and humans after oral and parenteral administration is described. Oral absorption of sumatriptan is essentially complete in dogs and rabbits, but only .apprx.50% in rat. In humans, at least 57% of an oral dose is absorbed. Bioavailabilities are species-dependent (14, 23, 37, and 58% in humans, rabbits, rats and dogs) reflecting differing degrees of first-pass metabolism These data correlate well with hepatic extraction

ratios, which are highest in rabbits and humans and lowest in dogs. Renal clearance is significant in all species and exceeds the glomerular filtration rate in rats, rabbits, and humans, but not in dogs. The compound is a weak base that shows widespread tissue distribution, including passage across the placental barrier and into milk, but low CNS penetration. Protein binding of sumatriptan is low in all species. Elimination half-lives of sumatriptan are .apprx.1 h in rats and rabbits, and .apprx.2 h in dogs and humans. In all species the majority of the absorbed dose is renally excreted, predominantly as the **indole** acetic acid metabolite and unchanged drug. Interesting species differences are evident in the metabolism of sumatriptan. Thus, in humans, the **indole** acetic acid metabolite is excreted partly as a glucuronide, whereas in animals conjugation of this metabolite is not apparent. In addition, demethylation of the **sulfonamide** side chain of the drug is evident in rodent and lagomorph species only.

L1 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:81599 CAPLUS
DN 114:81599
TI Preparation of indole derivatives as 5HT1-like receptor agonists
IN North, Peter Charles; Johnson, Martin Redpath; Oxford, Alexander William
PA Glaxo Group Ltd., UK
SO Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 382570	A1	19900816	EP 1990-301419	19900209
	EP 382570	B1	19931208		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
	CA 2009745	AA	19900810	CA 1990-2009745	19900209
	NO 9000636	A	19900813	NO 1990-636	19900209
	AU 9049315	A1	19900816	AU 1990-49315	19900209
	JP 02300184	A2	19901212	JP 1990-31320	19900209
	JP 2941333	B2	19990825		
	US 5001135	A	19910319	US 1990-477466	19900209
	ZA 9000974	A	19911030	ZA 1990-974	19900209
	AT 98230	E	19931215	AT 1990-301419	19900209
	DD 297162	A5	19920102	DD 1990-343333	19900808
	CN 1058778	A	19920219	CN 1990-107591	19900809
	HU 58721	A2	19920330	HU 1990-4945	19900809
PRAI	GB 1989-3036		19890210		
	EP 1990-301419		19900209		

OS MARPAT 114:81599
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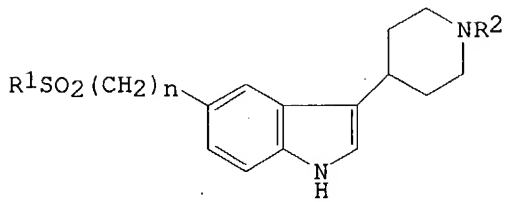

I

AB The title compds. I (R1 = C1-6 alkyl; R2 = H, C1-3 alkyl; n = 0-3) and pharmaceutically acceptable salts thereof were prepared I are **5HT1** -like receptor agonists useful in the treatment of migraine (no data). A

mixture of Et vinyl **sulfone**, palladium acetate, tri-o-tolylphosphine, Et3N, and 5-bromo-3-(1-mthyl-4-pipridinyl)-1H-**indole** in DMF was stirred at 100-110° for 4 h to give a product, which was hydrogenated over Pd/C in EtOH containing aqueous HCl to give I (R1 = Et; n = 2; R2 = Me).HCl. Pharmaceutical formulations comprising I are given.

L1 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:458949 CAPLUS
DN 113:58949
TI 3-(4-Piperidinyl)-5-[(2-**sulfonylamino**)ethyl]**indoles** as **5HT1**-like receptor agonists, their preparation, and formulations containing them
IN Coates, Ian Harold
PA Glaxo Group Ltd., UK
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 354777	A2	19900214	EP 1989-308083	19890809
	EP 354777	A3	19910410		
	EP 354777	B1	19930804		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DK 8903912	A	19900211	DK 1989-3912	19890809
	FI 8903751	A	19900211	FI 1989-3751	19890809
	NO 8903205	A	19900212	NO 1989-3205	19890809
	AU 8939455	A1	19900215	AU 1989-39455	19890809
	JP 02091068	A2	19900330	JP 1989-206606	19890809
	JP 2941309	B2	19990825		
	ZA 8906067	A	19900627	ZA 1989-6067	19890809
	US 5036078	A	19910730	US 1989-391036	19890809
	AT 92485	E	19930815	AT 1989-308083	19890809
PRAI	GB 1988-19024		19880810		
	EP 1989-308083		19890809		

OS MARPAT 113:58949
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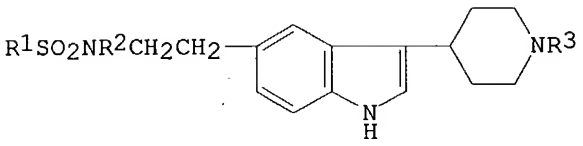

I

AB The title compds. (I; R1 = C1-6 alkyl; R2 = H, C1-6 alkyl; R3 = H, C1-3 alkyl) and their pharmaceutically acceptable salts and solvates, useful as 5HT1-like receptor agonists (no data) for the treatment of migraine, were prepared Reaction of 1-H-indole-5-ethanamine with MeSO2Cl, followed by condensation with 1-methyl-4-piperidone in the presence of KOH and hydrogenation of the resulting (tetrahydropyridinyl)indole derivative over 10% Pd/C at room temperature, workup, and treatment with HCl, gave I·HCl (R1 = R3 = Me, R2 = H). Formulations containing I are given.